G1 O, S, N

G2 CH2, CH, A, Ak

G3 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 08:59:54 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 19603 TO ITERATE

10.2% PROCESSED

2000 ITERATIONS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE **COMPLETE**

COMPLETE BATCH

PROJECTED ITERATIONS:

383678 TO 400442

PROJECTED ANSWERS:

0 TO

0

 L_2

0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 09:00:02 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 393288 TO ITERATE

100.0% PROCESSED 393288 ITERATIONS

SEARCH TIME: 00.00.10

33 ANSWERS

0 ANSWERS

L3

33 SÉA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION 167.15

FULL ESTIMATED COST

166.94

FILE 'CAPLUS' ENTERED AT 09:00:15 ON 19 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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Habte

10/809,638 Page 5

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FILE COVERS 1907 - 19 Oct 2006 VOL 145 ISS 17 FILE LAST UPDATED: 17 Oct 2006 (20061017/ED)

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=> s 13

L4 7 L3

=> d ibib abs hitstr tot

L4 ANSMER 1 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:247321 CAPLUS
DOCUMENT NUMBER: 134:280852
Cuinazolinones useful as glycoprotein IbIX antagonists, and their preparation and use for control

of thrombotic disorders

Mederski, Merner; Devant, Ralf; Barnickel, Gerhard;
Bernotat-danielowski, Sabine; Melzer, Guido; Dhanoa,
Daljit; Zhao, Bao-ping; Rinker, James; Player, Mark;
Soll, Richard
Merck Patent Gmbh, Germany; et al.
PCT Int. Appl., 104 pp.
CODEN: PIXXD2
Patent
English
1 INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

									APPLICATION NO.									
	2001																	
											BR,							
											GM.							
											LS.							
											SD,							
											ZA,							
			RU,			,	,		,				,	,	,	,		
	RW:					MW.	MZ.	SD.	SL.	SZ.	TZ,	UG.	ZW.	AT.	BE.	CH.	CY.	
	•										LU,							
											NE.				,	,		
CA	2385														2	2000	912	
	CA 2385921 BR 2000014294																	
	EP 1216235																	
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MARPAT 134:280852

ANSWER 1 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

332363-13-0 CAPLUS
4(3H)-Quinazolinone, 3-[[3-(aminomethyl)phenyl]methyl]-7-chloro-2-[2-[4-(dimethylamino)phenyl]ethenyl]- (9CI) (CA INDEX NAME)

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 1 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

Quinazolinones I and their pharmaceutically tolerable salts and solvates are disclosed (in which R, R1 = H, A, OH, OA, OCHAAY, Hal, NH2, NHA, NA2, NO2, cyano, COR2, CONH2, CONH4, CONA2, CO2H, CO2A, SO2A; R2, R3 = H, A, C(:NH)NH2, solid phase; R4 = Ar, phenylalkyl, cycloalkyl, Het; Y = bond, C2-4 alkylene; Z = bond, phenylene; A = (un)branched C1-6 alkyl; Ar = (un)substituted Ph, naphthyl, biphenyl, or benzofuranyl; Het = (un)substituted, (un)saturated mono- or bicyclic NOS heterocyclyl; Hal =

Cl. Br, or iodo; n * 1-3; m * 0-3; with a variety of provisos]. The compde. are glycoprotein IbIX antagonists (no data), useful for treatment or prophylaxis of a variety of thrombotic disorders, or as anti-adhesive substances for implants, catheters, or heart pacemakers. For instance,

substances for implants, catheters, or heart pacemakers. For instance, an exemplary amine, 3-(aminomethyl)benzylamine, was supported on p-nitrophenyl carbonate resin, then coupled with various Fmoc-protected anthranilic acids. Cleavage of the Fmoc group, cyclocondensation with various aldehydes R4YCHO, oxidation of the resultant dihydroquinazolinone ring system, and cleavage from the resin with CP3CO2H, gave a variety of compds. I, e.g., the preferred compound II.

IT 333363-12-9P, 3-(3-Aminomethylbenzyl)-2-(2-(4-dimethylaminophenyl)vinyl)-6-chloro-3H-quinazolin-4-one 333363-13-0P, 3-(3-Aminomethylbenzyl)-2-(2-(4-dimethylaminophenyl)vinyl)-7-chloro-3H-quinazolin-4-one RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological actual); PREP (Preparation); USES (Uses) (drug candidate)

RN 332363-12-9 CAPPLUS

CN 4(3H)-Quinazolinone, 3-[[3-(aminomethyl)phenyl]methyl]-6-chloro-2-[2-(4-(dimethylamino)phenyl]ethenyl]- (SCI) (CA INDEX NAME)

L4 ANSWER 2 OF 7
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:
Control

CAPLUS COPYRIGHT 2006 ACS on STN
2001:247320 CAPLUS
134:280851
Quinazolinones useful as glycoprotein IbIX
antagonists, and their preparation and use for control

of thrombotic disorders
Mederski, Werner; Devant, Ralf; Barnickel, Gerhard;
Bernotat-danielowski, Sabine; Melzer, Guido; Dhanoa,
Daljit; Zhao, Bao-ping; Rinker, James; Player, Mark;
Soll, Richard
Merck Patent Gmbh, Germany; et al.
PCT Int. Appl., 64 pp.
CODEN: PIXXD2
Patent
English INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.																	
WC	2001023364				A1 20010405				wo	2000-	EP89	20000913						
	W:	ΑE,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG	, BR,	BY,	CA,	CH,	CN,	CU,	CZ,	
		DE,	DK,	EE,	ES,	PI,	GB,	GD,	GE,	GH	, GM,	HR,	HU,	ID,	IL,	ÎN,	is.	
		JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR	, LS,	LŦ,	LU,	LV,	MD,	MG,	MK,	
		MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU	, SD,	SE,	SG,	SI,	SK,	SL,	TJ,	
		TM,	TR,	TT,	UA,	UG,	US,	UΖ,	VN,	YU	, ZA,	ZW						
	RW	: GH,	GM,	ΚŒ,	LS,	MW,	MZ,	SD,	SL,	52	, TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	ΙT	, LU,	MC,	NL,	PT,	SE,	BP,	BJ,	
											, NE,							
C)	CA 2385918					AA 20010405				CA	2000-	2385	20000913					
BF	BR 2000014311					A 20020521				BR 2000-14311					20000913			
E	EP 1216233				. A1	. A1 20020626			EP 2000-962482					20000913				
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
								MK,										
NO	NO 2002001503						2002	0326	NO 2002-1503					20020326				
	US 7060706															0020		
PRIORIT	Y AP	PLN.	Info	. :					1	US	1999-	4079	39		A 1	9990	928	
										US	1999-	3257	778		P 1	9990	928	
									,	WO	2000-	EP89	39		H 2	0000	913	

OTHER SOURCE(S): MARPAT 134:280851 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Quinazolinones I and their pharmaceutically tolerable salts and solvates are disclosed [in which R, R1 = H, A, OH, QA, OCH2Ar, Hal, NH2, NHA, NA2, NO2, cyano, COR2, CONH3, CONH3, CONH3, CO2H, CO2H, SO2A, R2, R3 = H, A, C(:NH)NH2, solid phase; R4 = Ar, phenylalkyl, cycloalkyl, Het; Y = bond, C2-4 alkylene; A = (un)branched C1-6 alkyl, Ar = (un)substituted Ph, naphthyl, biphenyl, or benzofuranyl; Het = (un)substituted, (un)saturated mono- or bicyclic NOS heterocyclyl; Hal = F, C1, Br, or iodo; n, m =

0-31 0-3}.
The compds. are glycoprotein IbIX antagonists (no data), useful for treatment or prophylaxis of a variety of thrombotic disorders, or as anti-adhesive substances for implants, catheters, or heart pacemakers. For instance, an exemplary amine,
[3-(aminomethyl)cyclohexyl]methyl]amine,
, was supported on p-nitrophenyl carbonate resin, then coupled with various Pmoc-protected anthranilic acids. Cleavage of the Fmoc group, cyclocondensation with various aldehydes R4YCHO, oxidation of the resultant.

cyclocondensation with Various aldenydes RAYCHO, oxidation of the resultant dihydroquinazolinone ring system, and cleavage from the resin with CFICO2H, gave a variety of compds. I, e.g., the preferred compound II.

IT 332121-76-3P, 3-[[3-(Aminomethyl)cyclohexyl]methyl]-2-[2-(4-dimethylaminophenyl)vinyl]-6-chloro-3H-quinazolin-4-one 332121-78-5P, 3-[[3-(Aminomethyl)cyclohexyl]methyl]-2-[2-(4-dimethylaminophenyl)vinyl]-6-methyl-3H-quinazolin-4-one 332121-78-5P, 3-[[3-(Aminomethyl)cyclohexyl]methyl]-2-[2-(4-dimethylaminophenyl)vinyl]-7-chloro-3H-quinazolin-4-one 332121-80-9P, 3-[[3-(Aminomethyl)cyclohexyl]methyl]-2-[2-(4-dimethylaminophenyl)vinyl]-6-methoxy-3H-quinazolin-4-one 332121-80-9P, 3-[3-(Aminomethyl)cyclohexyl]methyl]-2-[2-(4-dimethylaminophenyl)vinyl]-3H-quinazolin-4-one RL-BAC (Biological activity or effector, except adverse); BSU (Biological

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CAPLUS 4 (3H)-Quinazolinone, 3-[[3-(aminomethyl)cyclohexyl]methyl]-2-[2-[4-(dimethylamino)phenyl]ethenyl]-6-methoxy- (9CI) (CA INDEX NAME)

332121-80-9 CAPLUS 4 (3H) -Quinacolinone, 3-[[3-(aminomethyl)cyclohexyl]methyl]-2-[2-[4-(dimethylamino)phenyl]ethenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 1 CITED REPERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; prepn. of quinazolinone deriva. as glycoprotein IDIX

antagonista)
332121-76-3 CAPLUS
4(3H)-Quinazolinone, 3-{{3-(aminomethyl)cyclohexyl)methyl}-6-chloro-2-{2-{4-(dimethylamino)phenyl}ethenyl}- (9CI) (CA INDEX NAME)

332121-77-4 CAPLUS

4 (3H)-Quinazolinone, 3-{[3-{aminomethyl)cyclohexyl}methyl]-2-{2-{dimethylamino)phenyl]ethenyl]-6-methyl- (9CI) (CA INDEX NAME)

$$\mathsf{Me} \xrightarrow{\mathsf{N} - \mathsf{CH}_2} \mathsf{R} \mathsf{CH}_2 - \mathsf{NH}_2$$

332121-78-5 CAPLUS 4(3H)-Quinazolinone, 3-[[3-(aminomethyl)cyclohexyl]methyl]-7-chloro-2-[2-(4-(dimethylamino)phenyl]ethenyl]- (9CI) (CA INDEX NAME) 332121-78-5

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 1996:12269 CAPLUS DOCUMENT NUMBER: 124:175225 TITLE: Electron imma-1

DOCUMENT NUMBER:

124:175225

TITLE:

Electron impact-promoted fragmentation of some substituted 4-quinazolones

AUTHOR(S):

Badr. M. 2. A.; Hammerum, Steen; Duffield, A. M.

CORPORATE SOURCE:

CONDENS JOURNAL OF MASS Spectrometry (1995), 30(12), 1701-6

CODE:

DOCUMENT TYPE:

JOURNAL OF MASS Spectrometry (1995), 30(12), 1701-6

CODE:

JMSPPJ; ISSN: 1076-5174

Wiley

DOCUMENT TYPE:

JOURNAL English

AB Low-resolution mass spectra, and where appropriate complete high-resolution

spectra, were recorded for 29 2,3-disubstituted 4-quinazolones.

Rationalizations are presented for the principal fragmentation modes of this series of aromatic compds. Four of the 4-quinazolones which contain a

ain a vinyl-2-furanyl group attached to C-2 of the heterocyclic ring exhibited an unusual loss of C3H2O from their resp. mol. ions. 56479-05-1

ΙT

RL: PEP (Physical, engineering or chemical process); PRP (Properties);

(Reactant); PROC (Process); RACT (Reactant or reagent) (electron impact-promoted fragmentation of substituted 4-quinazolones) 56479-05-1 CAPLUS (4)41-quinazolanone, 2-[2-(4-nitrophenyl)ethenyl]-3-(phenylmethyl)-, (E)-(9C1) (CA INDEX NAME)

CN

Double bond geometry as shown

10/809,638

Page 8

L4 ANSMER 4 OF 7
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:
Synthesis and antiparkinsonian activity of styryl

quinazolones Kumar, Pradeep; Nath, C.; Bhargava, K. P.; Shanker, AUTHOR (S):

Dep. Pharmacol. Therapeut., King George's Med. Coll., Lucknow, 226003, India Pharmazie (1982), 37(11), 802 CODEN: PHARAT; ISSN: 0031-7144 Journal English CORPORATE SOURCE:

SOURCE:

DOCUMENT TYPE: LANGUAGE: GI

AB Condensation of acetanthranils I (R = H, Br, iodo; R1 = H, Br) with R2C6H4NNNM2 (R2 = H, 2-Me, 4-NO2) gave methylquinazolines II, which condensed with benzaldehydes to give styrylquinazolines III (R3 = 4-MeO, 4-NO2, Me2N, 3-NO2, 2-C1, 2-P, R4 = H; R3 = 3-Me, R4 = 4-HO; R3R4 = CH2O2). Antiparkinsonian activities of III at 100 mg/kg in rata were tested against oxotremorine induced tremors and reservine induced rigidity. III (R = R1 = R2 = R3 = H, R4 = 4-MeO; R = Br, R1 = R2 = R3 = H, R4 = 2-C1) possessed maximum activity with a tremor index of 2.4 (control)

3.0) and 20% rigidity (control 100%).

1 85226-44-9 R5226-45-SP 85226-47-7P
85226-44-6 R5226-45-SP 85226-47-7P
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and antiparkinsonian activity of)

RN 85226-44-4 CAPLUS
CN 4(3H)-Quinazolinone, 2-[2-[4-(dimethylamino)phenyl]ethenyl]-3-(phenylamino) - (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

85226-45-5 CAPLUS
4(3H)-Quinazolinone, 2-[2-[4-(dimethylamino)phenyl]ethenyl]-3-[{4-nitrophenyl}amino]- (9CI) (CA INDEX NAME)

85226-47-7 CAPLUS 4(3H)-Quinazolinone, 2-[2-[4-(dimethylamino)phenyl]ethenyl]-6-iodo-3-[(4-nitrophenyl)amino]- (9CI) (CA INDEX NAME)

85226-48-8 CAPLUS 4(3H)-Quinazolinone, 6-iodo-3-[(2-methylphenyl)amino}-2-[2-(3-nitrophenyl)ethenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 7
ACCESSION NUMBER: 1979:611313 CAPLUS
DOCUMENT NUMBER: 91:211313
Studies on the synthesis of 2,3-disubstituted
4(3H)quinazolinone
AUTHOR(S): Badr, M. Z. A.; El-Sherif, H. A. H.
CORPORATE SOURCE: Egyptian Journal of Chemistry (1978), Volume Date
1976; 19(2), 341-6
CODEN: EGJCA3; ISSN: 0367-0422

DOCUMENT TYPE:

Quinazolinone derivs. (I; R = Et, Ph, PhCH2; R1 = aryl, 2-furyl) were prepared in 80-90% yields by Knoevenagel condensation of II with R1CHO in absolute EtOH containing EtONs.
56479-05-19 71822-48-59
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
56479-05-1 CAPLUS
4 (3H)-Quinazolinone, 2-[2-(4-nitrophenyl)ethenyl]-3-(phenylmethyl)-, (E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

71822-48-5 CAPLUS 4(3H)-Quinazolinone, 2-[2-(3-nitrophenyl)ethenyl}-3-(phenylmethyl)-, (B)-(SCI) (CA INDEX NAME)

Double bond geometry as shown

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
1975:497193 CAPLUS
TITLE:
Synthesis of some benzoxazin-4-ones,
quinazolin-4-ones, and the related products
(quinazolin-4-ones, and the related products)
(AUTHOR(S):
(CORPORATE SOURCE:
SOURCE:
(CORPORATE SOURCE:
Lab. Polym. Pigas., Natl. Res. Cent., Cairo, Egypt
Indian Journal of Chemistry (1975), 13(4), 326-8
(CODEN: IJOCAP; ISSN: 0019-5103

Journal
RANGLAGE:
(CODEN: TOCAP; ISSN: 0019-5103

JOURNAL BE SOURCE(S):
(CASREACT 83:97193

GI For diagram(s), see printed CA Issue.
AB Benzoxazinones I [R = 2-furyl, p-MeANC6H4, 3,4-(MeO)(HO)C6H3] prepared by condensation of 2-methyl-3,1-benzoxazin-4-one with RCHO, were cleaned with

RINH2 to give o-RINHCOC6H4NHCOCH:CHR (II, R1 = Me, Et, Bu, PhCH2, NH2; R1 = same as above). Styrylquinazolinones III were prepared by condensation of 2-methyl-3-alkylquinazolin-4-ones with RCHO. III prepared were [R = 3,4-(MeO)(HO)C6H3, R1 = Me, Et; R = 2-furyl, P-tolyl.

3,4-(MeO)(HO)CSH3, R1 = Me, Et; R = 2-furyl, P-tolyl.

3,4-(MeO)(HO)CSH3, R1 = Me, Et; R = 2-furyl, P-tolyl.

Infrared studies indicated trans-olefin in these products. Uv showed that substituents caused a bathochromic shift increasing in the order p-Mecp-C1cp-MeO-3,4-(MeO)(HO)RCH: RCT (Reactant); RACT (Reactant or reagent)
(spectral Characteristics of)

RN 56479-OS-1 CAPUUS

CN 4(1H)-Quinazolinone, 2-(2-(4-nitrophenyl)ethenyl)-3-(phenylmethyl)-, (E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 56479-06-2 CAPLUS
CN 4(3H)-Quinazolinone, 2-[2-(2-nitrophenyl)ethenyl]-3-(phenylmethyl)-, (E)(9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSMER 7 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1974:3464 CAPLUS

BOCUMENT NUMBER: 80:3464

Action of Grignard reagents and aryllithium on 3-alkyl-2-astyrylquinazol-in-4-ones and 2-styryl-3,1-benzoxazin-4-ones

AUTHOR(S): Messin, N. N.; Doss, N. L.; Nosseir, M. H.

CORPORATE SOURCE: Indian Journal of Chemistry (1973), 11(8), 738-40

CODEN: JOCAP; ISSN: 0019-5103

DOCUMENT TYPE: Corps Journal of Chemistry (1973), 11(8), 738-40

CODEN: JOCAP; ISSN: 0019-5103

Journal AS Some derives of 2-styryl-3,1-benzoxazin-4-ones [I] and 3-alkyl-2-styrylquinazolin-4-ones (II) were prepared by reaction of the corresponding aldehyde with the ketone. 3-alkyl-and 3-amino-2-styrylquinazol-4-ones react sep. with arylamgnesium halides (3 mole equivalent) to give 3-alkyl-and 3-amino-2-(a,a'-diaryl-2-styrylquinazolin-4-ones, resp. With aryllithium, I and II gave o-(cinnamoylamidophenyl) diarylcarbinols and 3-alkyl-4,4'-diaryl-2-styrylquinazolines, resp. Structures were assigned on the basis of anal. ir, and uv spectral data.

IT SOB30-12-1 SOB30-16-SP

RL SPN (Synthetic preparation); PREP (Preparation)

(CA INDEX NAME)

RN 50830-16-5 CAPLUS
CN 4(3H)-Quinazolinone, 2-[2-(2-nitrophenyl)ethenyl]-3-(phenylmethyl)- (9CI)
(CA INDEX NAME)